

# PATENT COOPERATION TREATY

## PCT

### INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY


(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

REC'D 24 MAR 2005

WIPO

PCT

Applicant's or agent's file reference MM-VPB60162A	<b>FOR FURTHER ACTION</b> See Form PCT/PEA/416	
International application No. PCT/IB2004/001350	International filing date (day/month/year) 07.04.2004	Priority date (day/month/year) 09.04.2003
International Patent Classification (IPC) or national classification and IPC C07D471/04, C07D487/04		
Applicant SB PHARMCO PUERTO RICO INC et al.		
<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 6 sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> sent to the applicant and to the International Bureau a total of sheets, as follows:</p> <p><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>		
<p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the opinion</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input checked="" type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input type="checkbox"/> Box No. VIII Certain observations on the international application</p>		
Date of submission of the demand  09.02.2005	Date of completion of this report  23.03.2005	
Name and mailing address of the international preliminary examining authority:   European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer  Kyriakakou, G  Telephone No. +49 89 2399-7835	



**INTERNATIONAL PRELIMINARY REPORT  
ON PATENTABILITY**

International application No.  
PCT/IB2004/001350

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**Box No. I Basis of the report**

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1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☐ This report is based on translations from the original language into the following language , which is the language of a translation furnished for the purposes of:
- ☐ international search (under Rules 12.3 and 23.1(b))
  - ☐ publication of the international application (under Rule 12.4)
  - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the **elements\*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report):*

**Description, Pages**

1-90 as originally filed

**Claims, Numbers**

1-36 as originally filed

- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages
  - ☐ the claims, Nos.
  - ☐ the drawings, sheets/figs
  - ☐ the sequence listing (*specify*):
  - ☐ any table(s) related to sequence listing (*specify*):
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages
  - ☐ the claims, Nos.
  - ☐ the drawings, sheets/figs
  - ☐ the sequence listing (*specify*):
  - ☐ any table(s) related to sequence listing (*specify*):

\* If item 4 applies, some or all of these sheets may be marked "*superseded*."

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**Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

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1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:
- ☐ the entire international application,
  - ☒ claims Nos. 34-36 in respect of industrial applicability  
because:
    - ☐ the said international application, or the said claims Nos. relate to the following subject matter which does not require an international preliminary examination (specify):
    - ☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):
    - ☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.
  - ☒ no international search report has been established for the said claims Nos. -
  - ☐ the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the Administrative Instructions in that:
    - the written form ☐ has not been furnished
    - ☐ does not comply with the standard
    - the computer readable form ☐ has not been furnished
    - ☐ does not comply with the standard
  - ☐ the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with the technical requirements provided for in Annex C-*bis* of the Administrative Instructions.
  - ☐ See separate sheet for further details

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**Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

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1. Statement

Novelty (N)	Yes: Claims	1-36
	No: Claims	
Inventive step (IS)	Yes: Claims	
	No: Claims	1-36
Industrial applicability (IA)	Yes: Claims	1-33
	No: Claims	

2. Citations and explanations (Rule 70.7):

**see separate sheet**

**Re Item III**

**Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

Claims 34-36 relate to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of these claims (Article 34(4)(a)(I) PCT).

**Re item V**

Reference is made to the following documents

D1: WO-A-03008412

D2: WO-A-02088095

D3: WO-A-02100863

D4: WO-A-9951599

**2. Novelty(Art.33(2)PT)**

The present application relates to substituted pyrrolo[2,3-b]pyridines or pyrimidines. The prior art documents D1-D4 disclose substituted pyrrolo[2,3-b]pyridines(D1,D4) or pyrimidines (D2,D3) which differ in the nature of the 4-substituent.

The subject matter of the present claims 1-36 can therefore be considered to be novel.

**3. Inventive step(Art. 33(3)C)**

**3.1** The object of the present application is to provide compounds which are potent and specific antagonists of CRF receptors and are useful in treating disorders mediated by the said receptors.

**3.2.** The prior art documents D1 AND D2 diwhich disclose compounds coming structurally very close to the claimed ones and having the same pharmacological activity are considered to represent the closest state of the Art.

**3.3** The application does not contain any pharmacological data for the alleged activity of the claimed compounds. Furthermore the prior art documents D1 and D2 disclose compounds coming structurally very close and having the same pharmacological activity. In view of the close structural relationship to the compounds disclosed in the prior art documents, it is therefore considered as credible that the claimed compounds have the same pharmacological activity. Furthermore it is evident from the prior art compounds that variation of the 4-substituens can change only quantitatively the pharmacological activity and yield more or less active derivatives. It has also to be pointed out that the claimed compounds are selection compounds from the D1, D2

compounds. An inventive step cannot therefore be acknowledged for the present claims 1-36.

**3.4** In order to be able to acknowledge an inventive step the Applicant is kindly requested to submit relevant comparative tests with a representative number of prior art D1 and D2 compounds, which should demonstrate that the compounds of the present invention exhibit improved pharmacological activity compared to prior art compounds.

#### **4. Miscellaneous**

**4.1** The breadth of the claims should be such that all the compounds comprised should present the said properties and/ or advantages or they will be their obvious modifications. Everything falling within a valid claim has to be inventive otherwise the corresponding claim must be amended accordingly.

**4.2** The following expressions "prodrugs", "aryl", "heteroaryl" have according to the Description a specific meaning; this has to be incorporated in the corresponding claims. Furthermore the said expressions are vague and indefinite and as such render the scope of the corresponding claims unclear. The said expressions are non-limitative and cannot therefore be considered as obvious generalisation of the examples comprised in the description. Additionally they render the said claims speculative in that their scope embraces subject matter not yet explored by the Applicant, the effect of which cannot be readily predetermined or assessed.